(I)

U.S. Application No.: 10/549,250 Inventors: Carlos Garcia-Echeverria et al. International Filing Date: 12 March 2004

Response to Final Office Action mailed January 21, 2011

Page 2

## **CLAIMS**

1-35. (canceled)

36. (Previously Presented) A compound of formula I

$$R^{1}$$
 $R^{5}$ 
 $R^{5}$ 
 $R^{6}$ 
 $R^{7}$ 
 $R^{8}$ 
 $R^{2}$ 
 $R^{3}$ 
 $R^{4}$ 
 $R^{4}$ 
 $R^{6}$ 
 $R^{6}$ 
 $R^{7}$ 
 $R^{8}$ 
 $R^{9}$ 
 $R^{10}$ 

each of R<sup>0</sup> or R<sup>2</sup> independently is hydrogen, C<sub>1</sub>-C<sub>8</sub>alkyl, haloC<sub>1</sub>-C<sub>8</sub>alkyl, unsubstituted or substituted 5 or 6 membered heterocyclyl comprising 1 or 2 hetero atoms selected from N, O and S, C<sub>1</sub>-C<sub>8</sub>alkoxy, unsubstituted or substituted heterocyclyloxy, unsubstituted or substituted heterocyclylC<sub>1</sub>-C<sub>8</sub>alkoxy, unsubstituted or substituted amino, or halogen;

R<sup>1</sup> is hydrogen, C<sub>1</sub>-C<sub>8</sub>alkyl, haloC<sub>1</sub>-C<sub>8</sub>alkyl, unsubstituted or substituted 5 or 6 membered heterocyclyl comprising 1 or 2 hetero atoms selected from N, O and S, C<sub>1</sub>-C<sub>8</sub>alkoxy, unsubstituted or substituted heterocyclyloxy, unsubstituted or substituted heterocyclylC<sub>1</sub>-C<sub>8</sub>alkoxy, unsubstituted or substituted amino, halogen;

 $R^3$  is  $C_1$ - $C_8$ alkylsulfinyl,  $C_1$ - $C_8$ alkylsulfonyl,  $C_5$ - $C_{10}$ arylsulfonyl, or unsubstituted or substituted carbamoyl;

R<sup>4</sup> is hydrogen;

R<sup>5</sup> is chloro or bromo;

R<sup>6</sup> is hydrogen;

each of R<sup>7</sup> and R<sup>9</sup> independently is hydrogen, C<sub>1</sub>-C<sub>8</sub>alkyl, haloC<sub>1</sub>-C<sub>8</sub>alkyl, unsubstituted or substituted C<sub>5</sub>-C<sub>10</sub>aryl, unsubstituted or substituted 5 or 6 membered heterocyclyl comprising 1 or 2 hetero atoms selected from N, O and S, C<sub>1</sub>-C<sub>8</sub>alkoxy, unsubstituted or substituted heterocyclyloxy, unsubstituted or substituted heterocyclylC<sub>1</sub>-C<sub>8</sub>alkoxy, unsubstituted or substituted amino, halogen, unsubstituted or substituted carbamoyl, or unsubstituted or substituted sulfamoyl;

 $R^8$  is  $C_5$ - $C_{10}$ aryl; unsubstituted or substituted 5 or 6 membered heterocyclyl comprising 1 or 2 hetero atoms selected from N, O and S;  $C_5$ - $C_{10}$ aryloxy; unsubstituted or substituted heterocyclyloxy; or unsubstituted or substituted heterocyclyl $C_1$ - $C_8$ alkoxy; and

 $R^{10}$  is  $C_1$ - $C_8$ alkyl, halo $C_1$ - $C_8$ alkyl,  $C_1$ - $C_8$ alkoxy, unsubstituted or substituted heterocyclyl $C_1$ - $C_8$ alkoxy, unsubstituted or substituted amino, or halogen; and

A is C;

or salts thereof.

- 37. (Previously Presented) The compound of claim 36, wherein each of  $R^0$ ,  $R^1$  or  $R^2$  is hydrogen.
- 38. (Previously Presented) The compound of claim 36, wherein  $R^3$  is  $C_1$ - $C_8$ alkylsulfonyl,  $C_5$ - $C_{10}$ arylsulfonyl or unsubstituted or substituted carbamoyl.
- 39. (Previously Presented) The compound of claim 36, wherein  $R^3$  is  $C_1$ - $C_8$ alkylsulfonyl.
- 40. (Previously Presented) The compound of claim 36, wherein  $R^3$  is  $C_{5}$ - $C_{10}$ arylsulfonyl.
- 41. (Previously Presented) The compound of claim 36, wherein R<sup>3</sup> is unsubstituted or substituted carbamoyl.
- 42. (Previously Presented) The compound of claim 36, wherein R<sup>8</sup> is piperidino, piperazino, N-methylpiperazino, morpholino, phenoxy, 1-methyl-4-piperidyloxy, 3-morpholinopropoxy, 2-morpholinoethoxy or 3-(N-methylpiperazino)-propoxy.
- 43. (Previously Presented) The compound of claim 36, wherein R<sup>8</sup> is unsubstituted or substituted 5 or 6 membered heterocyclyl comprising 1 or 2 hetero atoms selected from N, O and S.
- 44. (Previously Presented) The compound of claim 36, wherein  $R^0$ ,  $R^1$  or  $R^2$  is hydrogen;  $R^3$  is  $C_1$ - $C_8$ alkylsulfonyl; and  $R^8$  is unsubstituted or substituted 5 or 6 membered heterocyclyl comprising 1 or 2 hetero atoms selected from N, O and S.

piperidino, piperazino, N-methylpiperazino or morpholino.

International Filing Date: 12 March 2004 Response to Final Office Action mailed January 21, 2011

Page 4

45. (Previously Presented) The compound of claim 44, wherein R<sup>8</sup> is

46. (Previously Presented) The compound of claim 36, wherein said compound is selected from the group of compounds with the following names or formulae: 2-[5-chloro-2-(2-methoxy-4-morpholin-4-yl-phenylamino)-pyrimidin-4-ylamino]-N-methyl-benzamide;

a compound of the formula given in the following table:

g table.	
Compound No.	Rx
7-1	
7-2	NH <sub>2</sub>
7-3	

Response to Final Office Action mailed January 21, 2011 Page 5

7-4	
7-5	
7-7	N Ac
7-8	→ B
7-9	

Response to Final Office Action mailed January 21, 2011

7-10	
7-11	
7-12	
7-13	H <sub>2</sub> N O
7-14	

Response to Final Office Action mailed January 21, 2011

7-17	NH <sub>2</sub>
7-18	N N NH <sub>2</sub>
7-20	
7-21	
7-25	

PATO32910A-US-PCT

U.S. Application No.: 10/549,250 Inventors: Carlos Garcia-Echeverria et al. International Filing Date: 12 March 2004

Response to Final Office Action mailed January 21, 2011

Page 8

a compound of the formula given in the following table:

, wherein Rx has one of the meanings

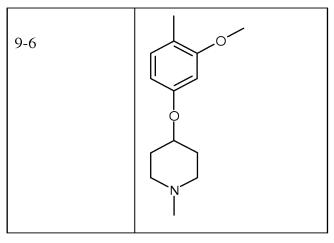
a compound of the formula given in the following table:

Rx

Response to Final Office Action mailed January 21, 2011 Page 9

Response to Final Office Action mailed January 21, 2011

Page 10



a compound of the formula

, wherein Rx has one of the meanings

given in the following table:

Compound	Rx
10-1	
10-2	

Response to Final Office Action mailed January 21, 2011

Page 11

a compound of the formula given in the following table:

Compound	Rx
11-1	
11-2	
11-4	

Response to Final Office Action mailed January 21, 2011

Page 12

PATENT PAT032910A-US-PCT

a compound of the formula

, wherein Ry has one of the meanings given in

the following table:

Compound	Ry
14-1	N N
14-2	
14-3	NH F
14-5	O F
14-6	

U.S. Application No.: 10/549,250 Inventors: Carlos Garcia-Echeverria et al.

Response to Final Office Action mailed January 21, 2011

PAT032910A-US-PCT International Filing Date: 12 March 2004

Page 13

a compound of the formula given in the following table:

Compound	Rx
15-1	
15-2	
15-3	, ;

Response to Final Office Action mailed January 21, 2011

Page 14

a compound of the formula given in the following table:

, wherein Rx has one of the meanings

PAT032910A-US-PCT

a compound of the formula

, wherein Rx has one of the

meanings given in the following table:

Compound	Rx
26-1	
26-2	N Ac

Response to Final Office Action mailed January 21, 2011

26-3	N N N N N N N N N N N N N N N N N N N
26-4	DE D
26-5	NH <sub>2</sub>
26-6	THO CONTRACTOR OF THE PROPERTY
26-7	N Ac

Response to Final Office Action mailed January 21, 2011

Response to Final Office Action mailed January 21, 2011 Page 17

Response to Final Office Action mailed January 21, 2011

	L
26-21	
	HN
26-22	
	, o
26-23	
	N N N N N N N N N N N N N N N N N N N
26-24	
26-25	
	NH

Response to Final Office Action mailed January 21, 2011

Page 19

26-26	
26-27	OH OH
26-28	Q Z Z
26-29	

a compound of the formula given in the following table:

Compound	Rx
----------	----

Response to Final Office Action mailed January 21, 2011 Page 20

27-1	
27-2	
27-3	~ ~ ~ ~ ~ ~ ~ ~ ~ ~ ~ ~ ~ ~ ~ ~ ~ ~ ~
27-4	~ ~ ~ ~ ~ ~ ~ ~ ~ ~ ~ ~ ~ ~ ~ ~ ~ ~ ~
27-5	

Response to Final Office Action mailed January 21, 2011

Page 21

27-6	O NH <sub>2</sub>
27-8	N NH <sub>2</sub>
27-9	·;

a compound of the formula given in the following table:

Response to Final Office Action mailed January 21, 2011 Page 22

Compound	Rx
28-1	N NH <sub>2</sub>
28-2	OH OH
28-3	
28-4	
28-5	

Response to Final Office Action mailed January 21, 2011 Page 23

28-6	
28-8	
28-9	
28-10	

Response to Final Office Action mailed January 21, 2011

28-11	N Ac
28-12	
28-13	
28-14	

Response to Final Office Action mailed January 21, 2011

28-15	H <sub>2</sub> N O
28-16	N OH
28-17	
28-18	
28-19	

Response to Final Office Action mailed January 21, 2011

28-20	Z Z N
28-21	Z Z Z
28-22	
28-23	

Response to Final Office Action mailed January 21, 2011 Page 27

28-24	
28-25	
28-27	NH <sub>2</sub>
28-28	N N H NH <sub>2</sub>

PATENT PAT032910A-US-PCT

Response to Final Office Action mailed January 21, 2011

Page 28

a compound of the formula

, wherein Rx has one of the

meanings given in the following table:

Compound	Rx
29-1	o v
29-2	
29-3	, ;

a compound of the formula

, wherein Rx has one of the

meanings given in the following table:

Response to Final Office Action mailed January 21, 2011

Page 29

Compound	Rx
31-2	
	;

a compound of the formula

, wherein Rx has one of the

meanings given in the following table:

Compound	Rx
32-1	\$-2\frac{2}{5}
32-2	, ;

Response to Final Office Action mailed January 21, 2011

Page 30

a compound of the formula the following table:

, wherein Ry has one of the meanings given in

Compound	Ry
34-1	S S
34-3	
34-4	
34-6	; and

a compound of the formula given in the following table:

Response to Final Office Action mailed January 21, 2011

Page 31

Compound	Rx
35-1	;

or a pharmaceutically acceptable salt thereof.

47. (Previously Presented) The compound of claim 36, wherein said compound is 2-[5-chloro-2-(2-methoxy-4-morpholin-4-yl-phenylamino)-pyrimidin-4-ylamino]-N-methyl-benzamide, or  $N^2$ -(4-[1,4']Bipiperidinyl-1'-yl-2-methoxy-phenyl)-5-chloro- $N^4$ -[2-(propane-1-sulfonyl)-phenyl]-pyrimidine-2,4-diamine, or a pharmaceutically acceptable salt thereof.

48. (Previously Presented) A process for the production of a compound of formula I according to claim 36, comprising reacting a compound of formula II

wherein R<sup>0</sup>, R<sup>1</sup>, R<sup>2</sup>, R<sup>3</sup>, R<sup>4</sup>, R<sup>5</sup>, and R<sup>6</sup> are as defined in claim 36, and Y is a leaving group, with a compound of formula III

$$H_2N$$
 $R^7$ 
 $R^8$ 
 $R^9$ 
 $R^{10}$ 
(III)

wherein A, R<sup>7</sup>, R<sup>8</sup>, R<sup>9</sup> and R<sup>10</sup> are as defined in claim 36;

and, if desired, converting a compound of formula I, wherein the substituents have the meaning as defined in claim 36, into another compound of formula I as defined in claim 36;

U.S. Application No.: 10/549,250

Inventors: Carlos Garcia-Echeverria et al.

International Filing Date: 12 March 2004

Response to Final Office Action mailed January 21, 2011

Page 32

and recovering the resulting compound of formula I in free from or as a salt, and, when required, converting the compound of formula I obtained in free form into the desired salt, or an obtained salt into the free form.

PAT032910A-US-P

- 49. (Previously Presented) A pharmaceutical composition comprising a compound according to claim 36, as active ingredient together with one or more pharmaceutically acceptable diluents or carriers.
- 50. (Previously Presented) A combination comprising a therapeutically effective amount of a compound according to claim 36 and one or more known drug substances, said further drug substance being useful in the treatment of neoplastic diseases or immune system disorders.
- 51. (Previously Presented) A method for the treatment of breast tumors in a subject in need thereof which comprises administering an effective amount of a compound according to claim 36 or a pharmaceutical composition comprising same.
- 52. (Previously Presented) The method of claim 51, wherein said compound is 2-[5-chloro-2-(2-methoxy-4-morpholin-4-yl-phenylamino)-pyrimidin-4ylamino]-N-methyl-benzamide, or N<sup>2</sup>-(4-[1,4']Bipiperidinyl-1'-yl-2-methoxy-phenyl)-5chloro-N<sup>4</sup>-[2-(propane-1-sulfonyl)-phenyl]-pyrimidine-2,4-diamine, or a pharmaceutically acceptable salt thereof.